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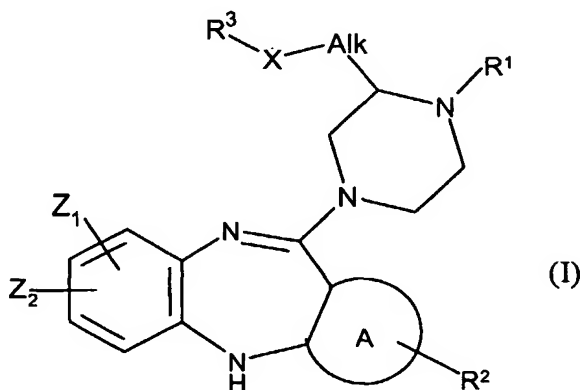
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(54) Title: PIPERAZINE SUBSTITUTED ARYL BENZODIAZEPINES



(57) ~~Abstract~~ Described herein are compounds of formula (I) wherein: is an optionally benzo-fused five or six member aromatic ring having zero to three hetero atoms independently selected from N, S, and O; Alk is (C₁₋₄) alkylene or hydroxy substituted (C₁₋₄) alkylene; X is oxygen or sulfur; R¹ is hydrogen, (C₁₋₆) fluoroalkyl, (C₃₋₆) cycloalkyl, or (C₁₋₄) alkyl, wherein the (C₁₋₄) alkyl is unsubstituted or substituted with hydroxy, methoxy, ethoxy, OCH₂CH₂OH, or -CN; R² is H, halogen, (C₁₋₆) fluoroalkyl, (C₁₋₆) cycloalkyl, OR⁴, SR⁴, NO₂, CN, COR⁴, C(O)OR⁴, CONR⁵R⁶, NR⁵R⁶, SO₂NR⁵R⁶, NR⁵COR⁴, NR⁵SO₂R⁴, optionally substituted aromatic, or (C₁₋₆) alkyl, wherein (C₁₋₆) alkyl is unsubstituted or substituted with a hydroxy group; R³ is hydrogen, (C₁₋₆) fluoroalkyl, (C₂₋₆) alkenyl, Ar, (C₁₋₄) alkyl-Ar, or (C₁₋₄) alkyl wherein (C₁₋₄) alkyl is unsubstituted or substituted with a phenyl; R⁴ is hydrogen, (C₁₋₆) alkyl, (C₁₋₆) fluoroalkyl, or optionally substituted aromatic; R⁵

and R⁶ are independently hydrogen, (C₁₋₆) alkyl, or optionally substituted aromatic, R⁷ is hydrogen, (C₁₋₆) alkyl, (C₁₋₆) fluoroalkyl, or optionally substituted aromatic; R⁸ and R⁹ are independently hydrogen, (C₁₋₆) alkyl, or optionally substituted aromatic; Ar is optionally substituted phenyl, naphthyl, monocyclic heteroaromatic or bicyclic heteroaromatic; Z¹ and Z² are independently selected from hydrogen, halogen, (C₁₋₆) alkyl, (C₁₋₆) fluoroalkyl, OR⁷, SR⁷, NO₂, CN, COR⁷, CONR⁸R⁹, NR⁸R⁹, and optionally substituted aromatic; and all salts, solvates, optical and geometric isomers, and crystalline forms thereof. Also, described are the use of the compounds of formula (I) as antagonists of the dopamine D₂ receptor and as agents for the treatment of psychosis and bipolar disorders, and pharmaceutical formulations of the compounds of formula (I).